1. A compound having a formula selected from the group consisting of:

HIN, NH and

or a pharmaceutically acceptable salt, multimer, prodrug, or active metabolite thereof.

2. A compound having a formula selected from the group consisting of:

or a pharmaceutically acceptable salt, multimer, prodrug, or active metabolite thereof.



3. A compound having the formula:

or a pharmaceutically acceptable salt, multimer, prodrug, or active metabolite thereof.

4. A compound having a formula selected from the group consisting of:

or a pharmaceutically acceptable salt, multimer, prodrug, or active metabolite thereof.

5. A compound having the formula:

or a pharmaceutically acceptable salt, multimer, prodrug, or active metabolite thereof.



6. A compound having a formula selected from the group consisting of:

or a pharmaceutically acceptable salt, multimer, prodrug, or active metabolite thereof.

- 7. A pharmaceutical composition comprising: a therapeutically effective amount of a compound, pharmaceutically acceptable salt, multimer, prodrug, or active metabolite as defined in any of claims 1-6; and a pharmaceutically acceptable carrier or diluent.
- 8. A method for regulating the secretion of gonadotropins in mammals, comprising administering a therapeutically effective amount of a compound, pharmaceutically acceptable salt, multimer, prodrug, or active metabolite as defined in any of claims 1-6.
 - 9. A compound of the Formula I where:

$$R^{6}$$

$$R^{7}$$

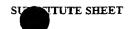
$$R^{4}$$

$$R^{3}$$

$$R^{9}$$

I

X is selected from C=O, C=S, S=O, and S(O)2;



is a 5-membered heterocyclic ring containing from 1 to 4, preferably 2 or 3, heteroatoms selected from N, O, and S, wherein the ring may be saturated, partially unsaturated, or fully unsaturated, and may be aromatic;

R¹ and R² are independently selected from H and lower alkyl;

R³ is selected from H, halogen, and substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, heterocycle, aryl, heteroaryl, CH₂OR, OR, and C(O)OR, where R is selected from substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, heterocycle, aryl, and heteroaryl, and where the total number of carbon atoms present (not including any optional substituents) ranges from 1 to 12,

R⁴ and R⁵ are independently selected from H, halogen, and substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, heterocycle, aryl, heteroaryl, CH₂OR, OR, and C(O)OR, where R is as defined above; and where the total number of carbon atoms present (not including any optional substituents) ranges from 1 to 12,

R⁶ and R⁷ are independently selected from H, halogen, and substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, heterocycle, aryl, heteroaryl, CH₂OR, OR, and C(O)OR; where R is as defined above, and where the total number of carbon atoms present (not including any optional substituents) ranges from I to 12; or R⁶ and R⁷ taken together with the atoms to which they are bonded form an optionally substituted 5- or 6-membered ring optionally having up to four heteroatoms selected from O, N, and S;

R⁸ is a lipophilic moiety selected from substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, heterocycle, aryl, heteroaryl, CH₂OR, OR, and C(O)OR, where R is as defined above, and where the total number of carbon atoms present (not including any optional substituents) ranges from 6 to 20, and

R9 is selected from H and substituted and unsubstituted alkyl.

10. A compound of Formula I

X is selected from C=O, C=S, S=O, and S(O)₂;

is a 5-membered heterocyclic ring containing from 1 to 4, preferably 2 or 3, heteroatoms selected from N, O, and S, wherein the ring may be saturated, partially unsaturated, or fully unsaturated, and may be aromatic;

I

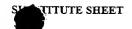
R¹ and R² are independently selected from H and lower alkyl;

R³ is selected from H, halogen, and substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, heterocycle, aryl, heteroaryl, CH₂OR, OR, and C(O)OR, where R is selected from substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, heterocycle, aryl, and heteroaryl, and where the total number of carbon atoms present (not including any optional substituents) ranges from 1 to 12;

R⁴ and R⁵ are independently selected from H, halogen, and substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, heterocycle, aryl, heteroaryl, CH₂OR, OR, and C(O)OR, where R is as defined above; and where the total number of carbon atoms present (not including any optional substituents) ranges from 1 to 12;

R⁶ and R⁷ are independently selected from H, halogen, and substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, heterocycle, aryl, heteroaryl, CH₂OR, OR, and C(O)OR; where R is as defined above, and where the total number of carbon atoms present (not including any optional substituents) ranges from 1 to 12; or R⁶ and R⁷ taken together with the atoms to which they are bonded form an optionally substituted 5- or 6-membered ring optionally having up to four heteroatoms selected from O, N, and S;

R⁸ is a lipophilic moiety selected from substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, heterocycle, aryl, heteroaryl, CH₂OR, OR, and C(O)OR,



where R is as defined above, and where the total number of carbon atoms present (not including any optional substituents) ranges from 6 to 20; and

 $\ensuremath{R^9}$ is selected from H and substituted and unsubstituted alkyl;

or R1 or R2 can be -OH or =O; and/or R8 can also be hydrogen;

and/or R can be COR or hydrogen; and/or R8 can have any desired number of carbon atoms;

and/or R⁸ ad R⁹ can also form a ring; and/or any adjacent R groups, such as R⁵ and R⁶ or R³ and R⁴ can form a ring, such as those described for R⁶ and R⁷;

and/or R⁶ can be COR; and/or the (het) group can be substituted or unsubstituted.

or R⁸ and/or R⁹ can be selected from heterocyclic groups or any compound that forms an amide bond with the nitrogen of Formula I.